

### (FILE 'HOME' ENTERED AT 10:25:17 ON 12 AUG 2003)

	10.25.20 ON 12 AUG 2003
	FILE 'REGISTRY' ENTERED AT 10:25:39 ON 12 AUG 2003
L1	STRUCTURE UPLOADED
L2	0 S L1 SSS SAM
L3	17 S L1 SSS FULL
L4	STRUCTURE UPLOADED
L5	7 S L4 SSS SAM
L6	238 S L4 SSS FULL
	10 OF 10 ON 10 AUC 2003
	FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 10:27:19 ON 12 AUG 2003
1.7	8 S L3 AND L6

=> d 11

L1 HAS NO ANSWERS

STR L1

Structure attributes must be viewed using STN Express query preparation.

O ANSWERS

 $\Rightarrow$  s 11 sss sam SAMPLE SEARCH INITIATED 10:26:08 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

13 ITERATIONS 100.0% PROCESSED

SEARCH TIME: 00.00.01

\*\*COMPLETE\*\* FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH

44 TO

PROJECTED ITERATIONS: 0 TO 0 PROJECTED ANSWERS:

O SEA SSS SAM L1

=> s ll sss full FULL SEARCH INITIATED 10:26:14 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 295 TO ITERATE

17 ANSWERS 100.0% PROCESSED 295 ITERATIONS

SEARCH TIME: 00.00.01

17 SEA SSS FUL L1 L3

=> Uploading 10043951-3.str

STRUCTURE UPLOADED L4

=> d 14

L4 HAS NO ANSWERS

STR L4

Structure attributes must be viewed using STN Express query preparation.

CAPLUS COPYRIGHT 2003 ACS on STN ANSWER 1 OF 8

2002:794206 CAPLUS ACCESSION NUMBER:

137:295195 DOCUMENT NUMBER:

Methods for synthesizing nucleosides, nucleoside TITLE:

derivatives and non-nucleoside phosphoramidites and

succinates

Beigelman, Leonid: Karpeisky, Alexander; Serebryany, INVENTOR(S):

Vladmir; Haeberli, Peter; Sweedler, David

PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 59 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 944,554.

CODEN: USXXCO Patent

DOCUMENT TYPE:

LANGUAGE:

English

Ι

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002150936 US 2002120129 PRIORITY APPLN. INFO.	A1 A1 :	20021017 20020829	US 2001-286571P P	20020111 20010831 20000901 20010425 20010831

OTHER SOURCE(S):

CASREACT 137:295195

GΙ

HO 
$$R^{3}$$
  $R^{1}$   $R^{2}$ 

The present invention provides methods for the chem. synthesis of AΒ nucleosides I wherein R1 and R2 are independently hydrogen, substituted amine, aminoalkyl, fluoro or chloro; R3 is independently alkyl, alkoxyalkyl, alkylthioalkyl, cyanoalkyl, or arylalkyl optionally substituted with up to three groups that are independently halogen, alkoxy, nitro, or alkyl; and derivs. thereof, including 2'-amino, 2'-N-phthaloyl, 2'-O-Me, 2'-O-silyl, 2'-OH nucleosides, C-nucleosides, nucleoside phosphoramidites, C-nucleoside phosphoramidites, and non-nucleoside derivs. The invention provides a universal method for the synthesis of 2'-deoxy-2'-aminopurine and pyrimidine nucleosides and C-nucleosides that employs fewer synthetic steps, avoids the use of azides, and which concomitantly introduces N-phthaloyl protection of the 2'-amine. Thus, 5'-O-DMT-2'-deoxy-2'-N1-phthaloyl-N4-acetylcytidine 3'-O-(2-cyanoethyl-N, N-diisopropylphosphoramidite) was prepd.

401812-96-2P IT

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (507; methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

401812-96-2 CAPLUS RN

CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 212375-92-3P 212375-93-4P 401812-98-4P 401812-99-5P 401813-00-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 212375-92-3 CAPLUS

CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 212375-93-4 CAPLUS

CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

RN 401812-98-4 CAPLUS

CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401812-99-5 CAPLUS

CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401813-00-1 CAPLUS

CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

### IT 104992-55-4P 118362-03-1P 121058-88-6P 147201-04-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 104992-55-4 CAPLUS

CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 118362-03-1 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 121058-88-6 CAPLUS

CN Cytidine, N-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

147201-04-5 CAPLUS RN

Guanosine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-methoxyphenyl)phenylmethylmethylphenylmethylphenylmethylCN dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN L7

2002:171919 CAPLUS ACCESSION NUMBER:

136:200423 DOCUMENT NUMBER:

Methods for synthesizing nucleosides, nucleoside TITLE:

derivatives and non-nucleoside phosphoramidites and

Beigelman, Leonid; Karpeisky, Alexander; Serebryany, INVENTOR(S):

Vladimir; Haeberli, Peter; Sweedler, David

Ribozyme Pharmaceuticals, Incorporated, USA PATENT ASSIGNEE(S):

PCT Int. Appl., 118 pp. SOURCE:

CODEN: PIXXD2

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018405 WO 2002018405	A2 A3	20020307 20030103	WO 2001-US27116	20010831

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RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                    20010831
                                                AU 2001-86959
                          A5
                                20020313
     AU 2001086959
                                                EP 2001-966449
                                                                    20010831
     EP 1313752
                          Α2
                                20030528
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           . US 2000-230057P P 20000901
PRIORITY APPLN. INFO.:
                                             US 2001-286571P P
                                                                    20010425
                                             WO 2001-US27116 W 20010831
                            CASREACT 136:200423; MARPAT 136:200423
OTHER SOURCE(S):
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$$\begin{array}{c|c}
 & R1 \\
 & N \\
 & N \\
 & N \\
 & R2
\end{array}$$

Ŕ3

HO

Ι

GΙ

The present invention provides methods for the chem. synthesis of AΒ nucleosides I wherein R1 and R2 are independently hydrogen, substituted amine, aminoalkyl, fluoro or chloro; R3 is independently alkyl, alkoxyalkyl, alkylthioalkyl, cyanoalkyl, or arylalkyl optionally substituted with up to three groups that are independently halogen, alkoxy, nitro, or alkyl; and derivs. thereof, including 2'-amino, 2'-N-phthaloyl, 2'-O-Me, 2'-O-silyl, 2'-OH nucleosides, C-nucleosides, nucleoside phosphoramidites, C-nucleoside phosphoramidites, and non-nucleoside derivs. The invention provides a universal method for the synthesis of 2'-deoxy-2'-aminopurine and pyrimidine nucleosides and C-nucleosides that employs fewer synthetic steps, avoids the use of azides, and which concomitantly introduces N-phthaloyl protection of the 2'-amine. Thus, 5'-O-DMT-2'-deoxy-2'-N1-phthaloyl-N4-acetylcytidine 3'-O-(2-cyanoethyl-N, N-diisopropylphosphoramidite). ΙT

401812-96-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (507; methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)
401812-96-2 CAPLUS

RN 401812-96-2 CAPLUS
CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

# IT 212375-92-3P 212375-93-4P 401812-98-4P 401812-99-5P 401813-00-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 212375-92-3 CAPLUS

CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 212375-93-4 CAPLUS CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401812-98-4 CAPLUS
CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401812-99-5 CAPLUS

CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401813-00-1 CAPLUS

CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 104992-55-4P 118362-03-1P 121058-88-6P 147201-04-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 104992-55-4 CAPLUS

CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 118362-03-1 CAPLUS

CN Uridine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 121058-88-6 CAPLUS

CN Cytidine, N-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

RN 147201-04-5 CAPLUS

CN Guanosine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)-, 3'-[2-cyanoethylbis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:675073 CAPLUS

DOCUMENT NUMBER: 136:37850

TITLE: Efficient synthesis of D-[1'-13C]-ribonucleosides for

incorporation into oligo-RNA

AUTHOR(S): Saito, Y.; Nyilas, A.; Agrofoglio, L. A.

CORPORATE SOURCE: I.C.O.A. associe CNRS, Faculte des Sciences, Orleans,

45100, Fr.

SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001),

20(4-7), 937-940

CODEN: NNNAFY; ISSN: 1525-7770

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:37850

AB Syntheses of the monomer building blocks used for the solid-phase synthesis of specifically 1'-13C-labeled oligoribonucleotides from the D-[1-13C]ribose is presented. The procedure has been used for the selective formation of 2'-O-silylated ribonucleosides. Following 5'-O-dimethoxytritylation, the synthesis of D-[1'-13C] ribonucleoside

phosphoramidites has been achieved.

IT 335595-77-2P 335595-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of ribonucleosides for incorporation into oligo-RNA)

RN 335595-77-2 CAPLUS

CN Adenosine-1'-13C, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 335595-79-4 CAPLUS

CN Uridine-1'-13C, 3',5'-0-[bis(1,1-dimethylethyl)silylene]-2'-0-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### IT 335595-86-3P 380611-24-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of ribonucleosides for incorporation into oligo-RNA)

RN 335595-86-3 CAPLUS

CN Adenosine-1'-13C, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

RN 380611-24-5 CAPLUS

CN Uridine-1'-13C, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:154378 CAPLUS

DOCUMENT NUMBER: 134:326702

TITLE: Synthesis of isotopically labeled d-[1'-

13C]ribonucleoside phosphoramidites

AUTHOR(S): Saito, Y.; Nyilas, A.; Agrofoglio, L. A.

CORPORATE SOURCE: Institut de Chimie Organique et Analytique, CNRS UMR

6005, Universite d'Orleans, Orleans, 45100, Fr.

Carbohydrate Research (2001), 331(1), 83-90 SOURCE:

CODEN: CRBRAT; ISSN: 0008-6215

Elsevier Science Ltd. PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE:

CASREACT 134:326702 OTHER SOURCE(S):

The prepn. of fully protected labeled diisopropylamino-.beta.-cyanoethyl-[1'-13C]ribonucleoside phosphoramidites with regioisomeric purity is described. We demonstrated in this paper that a regioselective 2'-O-silylation, through a 3',5'-O-di-tert-butylsilanediyl protection, has been applied for the synthesis of [1'-13C]ribonucleoside phosphoramidite units. This method allowed us to obtain only the desired 2'-O-silyl-3'-O-phosphoramidites avoiding the undesired 3'-0-silyl-2'-0-phosphoramidite nucleosides isolated by std. procedures.

This is a suitable procedure to RNA precursors with respect to the

isotope-contg. precursors.

335595-77-2P 335595-78-3P 335595-79-4P ΙT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of isotopically labeled d-[1'-13C]ribonucleoside phosphoramidites via regioselective silvlation as synthons for RNA)

335595-77-2 CAPLUS RN

Adenosine-1'-13C, N-benzoyl-3',5'-0-[bis(1,1-dimethylethyl)silylene]-2'-0-CN [(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

335595-78-3 CAPLUS RN

Cytidine-1'-13C, N-benzoyl-3',5'-0-[bis(1,1-dimethylethyl)silylene]-2'-0-CN [(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

RN 335595-79-4 CAPLUS
CN Uridine-1'-13C, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### IT 335595-86-3P 335595-87-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of isotopically labeled d-[1'-13C]ribonucleoside
 phosphoramidites via regioselective silylation as synthons for RNA)
335595-86-3 CAPLUS
Adenosine-1'-13C, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-

RN 335595-86-3 CAPLUS
CN Adenosine-1'-13C, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

RN 335595-87-4 CAPLUS

CN Cytidine-1'-13C, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:17764 CAPLUS

DOCUMENT NUMBER:

130:182710

TITLE:

2'-C-Branched Ribonucleosides: Synthesis of the Phosphoramidite Derivatives of 2'-C-.beta.-

Methylcytidine and Their Incorporation into

Oligonucleotides

AUTHOR(S):

Tang, Xiao-Qing; Liao, Xiangmin; Piccirilli, Joseph A.

CORPORATE SOURCE: Howard Hughes Medical Institute Departments of

Biochemistry Molecular Biology and Chemistry, University of Chicago, Chicago, IL, 60637, USA

SOURCE: Journal of Organic Chemistry (1999), 64(3), 747-754

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

We describe a strategy for the incorporation of a 2'-C-branched AΒ ribonucleoside, 2'-C-.beta.-methylcytidine, into oligonucleotides via solid-phase synthesis using phosphoramidite derivs. 4-N-Benzoyl-2'-C-.beta.-methylcytidine was synthesized by coupling persilylated 4-N-benzoylcytosine with 1,2,3,5-tetra-O-benzoyl-2-C-.beta.-methyl-.alpha.-(and .beta.) -D-ribofuranose in the presence of SnCl4 in acetonitrile, followed by selective deprotection with NaOH in pyridine/methanol. The 3'- and 5'-hydroxyl groups were blocked as a cyclic di-tertbutylsilanediyl ether by treatment with di-tert-butyldichlorosilane/AgNO3 in DMF. The 2'-hydroxyl group was then protected as a tert-butyldimethylsilyl ether by treatment with tert-butylmagnesium chloride followed by addn. of tert-butyldimethylsilyl trifluoromethanesulfonate in THF. As an alternative to 2'-silyl protection, the corresponding 2'-O-tetrahydropyranyl ether was prepd. by treatment with 4,5-dihydro-2H-pyran in the presence of a catalytic amt. of 10-camphorsulfonic acid in methylene chloride. The di-tertbutylsilanediyl groups were removed by treatment with pyridinium poly(hydrogen fluoride). Protection of the 5'-hydroxyl group as a dimethoxytrityl ether and phosphitylation of the 3'-hydroxyl group by the std. procedure gave the phosphoramidite derivs. Both these derivs. could be used to incorporate 2'-C-.beta.-methylcytidine into oligonucleotides efficiently via std. solid-phase synthesis, but the tetrahydropyranyl group was more readily removed from oligonucleotides than the tert-butyldimethylsilyl group. Oligonucleotides contg. 2'-C-.beta.-methylcytidine undergo base-catalyzed degrdn. analogous to natural RNA.

IT 220503-66-2P 220503-70-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of the phosphoramidite derivs. of 2'-C-.beta.-methylcytidine and their incorporation into oligonucleotides)

RN 220503-66-2 CAPLUS

CN Cytidine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220503-70-8 CAPLUS

CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-2'-C-methyl-, 3'-[2-cyanoethyl

bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:407842 CAPLUS

DOCUMENT NUMBER: 127:109140

TITLE: Synthesis of guanosine analogs bearing pendant

alkylthiol tethers

AUTHOR(S): Gundlach, C. William, IV; Ryder, Todd R.; Glick, Gary

D.

CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann

Arbor, MI, 48109-1055, USA

SOURCE: Tetrahedron Letters (1997), 38(23), 4039-4042

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

AB Synthesis of three guanosine monomers substituted with alkylthiol chains at either carbon -8 or the 2'-hydroxyl is described. The ready accessibility of these monomers with facilitate the use of disulfide cross-links to study the folding and dynamics of RNA and will also provide loci for conjugation of reporter groups.

IT 192316-99-7P 192317-00-3P 192317-01-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of guanosine analogs bearing pendant alkylthiol tethers)

RN 192316-99-7 CAPLUS

CN Guanosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-8-bromo-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

RN 192317-00-3 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-8-(3-hydroxypropyl)-N-(2-methyl-1-oxopropyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 192317-01-4 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-8-[3-[(1,1-dimethylethyl)dithio]propyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 192317-03-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of guanosine analogs bearing pendant alkylthiol tethers)
RN 192317-03-6 CAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-8-[3-[(1,1-dimethylethyl)dithio]propyl]-N-(2-methyl-1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite]

#### (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 7 OF 8 USPATFULL on STN

ACCESSION NUMBER:

2002:272815 USPATFULL

TITLE:

Methods for synthesizing nucleosides, nucleoside

derivatives and non-nucleoside derivatives

INVENTOR(S):

Beigelman, Leonid, Longmont, CO, UNITED STATES Karpeisky, Alexander, Lafayette, CO, UNITED STATES Serebryany, Vladmir, Boulder, CO, UNITED STATES Haeberli, Peter, Berthoud, CO, UNITED STATES Sweedler, David, Louisville, CO, UNITED STATES

	NUMBER	KIND	DATE
US	2002150936	A1	20021017

PATENT INFORMATION: APPLICATION INFO.:

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RELATED APPLN. INFO.:

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on 31 Aug 2001, PENDING

NUMBER DATE

PRIORITY INFORMATION:

US 2001-286571P 20010425 (60) US 2000-230057P 20000901 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

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NUMBER OF CLAIMS: 45 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS:

15 Drawing Page(s)

LINE COUNT: 4139

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides methods for the chemical synthesis of nucleosides and derivatives thereof, including 2'-amino, 2'-N-phthaloyl, 2'-O-methyl, 2'-O-silyl, 2'-O-triisopropylsilyloxymethyl, 2'-OH nucleosides, C-nucleosides, nucleoside phosphoramidites, C-nucleoside phosphoramidites, and non-nucleoside derivatives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### IT 401812-96-2P

(507; methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 401812-96-2 USPATFULL

CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 212375-92-3P 212375-93-4P 401812-98-4P 401812-99-5P 401813-00-1P

(methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 212375-92-3 USPATFULL

CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 212375-93-4 USPATFULL

CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401812-98-4 USPATFULL

CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401812-99-5 USPATFULL
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401813-00-1 USPATFULL
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

#### 147201-04-5P

CN

(methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 104992-55-4 USPATFULL

Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 118362-03-1 USPATFULL

CN Uridine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 121058-88-6 USPATFULL

CN Cytidine, N-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

RN 147201-04-5 USPATFULL

Guanosine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-CN dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 8 OF 8 USPATFULL on STN

ACCESSION NUMBER:

2002:221984 USPATFULL

TITLE:

Methods for synthesizing nucleosides, nucleoside

derivatives and non-nucleoside derivatives INVENTOR(S):

Beigelman, Leonid, Longmont, CO, UNITED STATES

Karpeisky, Alexander, Lafayette, CO, UNITED STATES Serebryany, Vladmir, Boulder, CO, UNITED STATES Haeberli, Peter, Berthoud, CO, UNITED STATES Sweedler, David, Louisville, CO, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 2002120129 US 2001-944554	A1 A1	20020829 20010831	(9)

DATE NUMBER US 2000-230057P 20000901 (60) PRIORITY INFORMATION: US 2001-286571P 20010425 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

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DRIVE, SUITE 3200, CHICAGO, IL, 60606

NUMBER OF CLAIMS:

75

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

15 Drawing Page(s)

LINE COUNT:

3846

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides methods for the chemical synthesis of nucleosides and derivatives thereof, including 2'-amino, 2'-N-phthaloyl, 2'-O-methyl, 2'-O-silyl, 2'OH nucleosides, C-nucleosides, nucleoside phosphoramidites, C-nucleoside phosphoramidites, and non-nucleoside derivatives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### IT 401812-96-2P

(507; methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 401812-96-2 USPATFULL

CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### IT 212375-92-3P 212375-93-4P 401812-98-4P

#### 401812-99-5P 401813-00-1P

(methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 212375-92-3 USPATFULL

CN Uridine, 3',5'-0-[bis(1,1-dimethylethyl)silylene]-2'-0-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 212375-93-4 USPATFULL

CN Adenosine,  $3',5'-0-\{bis(1,1-dimethylethyl)silylene\}-2'-0-\{(1,1-dimethylethyl)silylene\}$ 

dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401812-98-4 USPATFULL

CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401812-99-5 USPATFULL

CN Guanosine, 3',5'-0-[bis(1,1-methylethyl)silylene]-2'-0-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401813-00-1 USPATFULL

CN Guanosine, 3',5'-0-[bis(1,1-methylethyl)silylene]-2'-0-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

#### 104992-55-4P 118362-03-1P 121058-88-6P 147201-04-5P

(methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

104992-55-4 USPATFULL RN

Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-CN dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

118362-03-1 USPATFULL RN

CN

Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

121058-88-6 USPATFULL RN

Cytidine, N-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-CN dimethylethyl)dimethylsilyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

147201-04-5 USPATFULL RN

Guanosine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-0-[(1,1-CN dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)